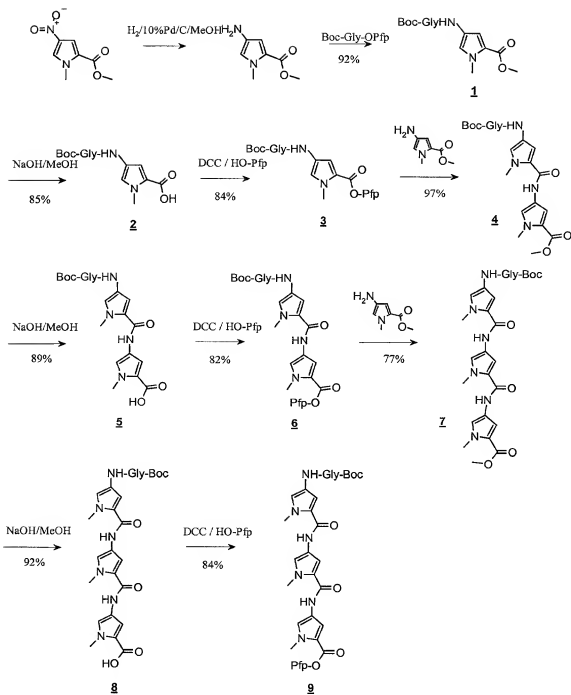
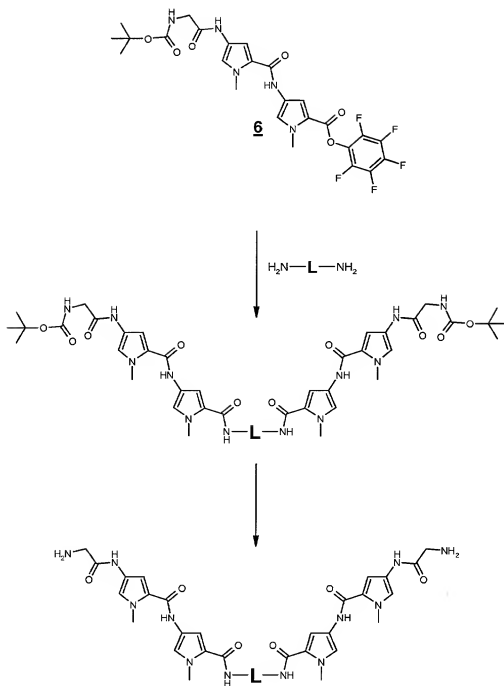


Figure 1



Boc-Gly = Boc-NHCH₂-CO-

Figure 2



10 - 44
 L = $-(\text{CH}_2)_2-$ **10**
 $-(\text{CH}_2)_3-$ **11**
 $-(\text{CH}_2)_4-$ **12**
 $-(\text{CH}_2)_5-$ **13**
 $-(\text{CH}_2)_8-$ **14**
 $-(\text{CH}_2)_{12}-$ **15**

$-\text{CH}(\text{CH}_3)\text{CH}_2-$ R or S isomer **16, 17**

Figure 3

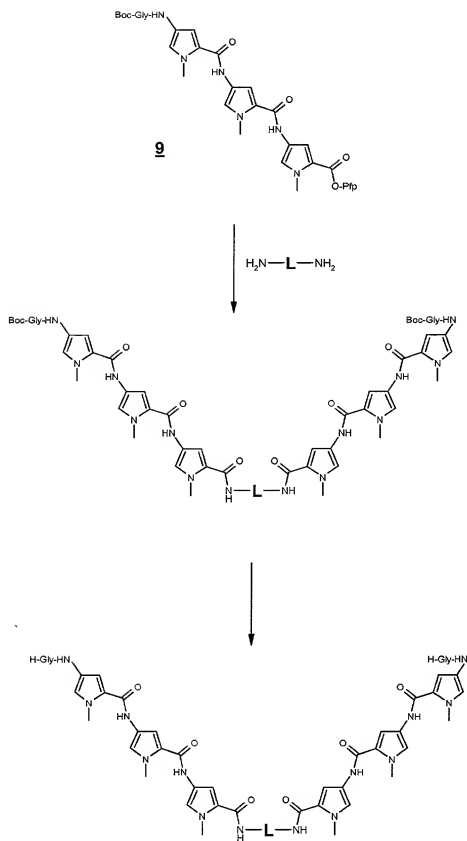


Figure 4

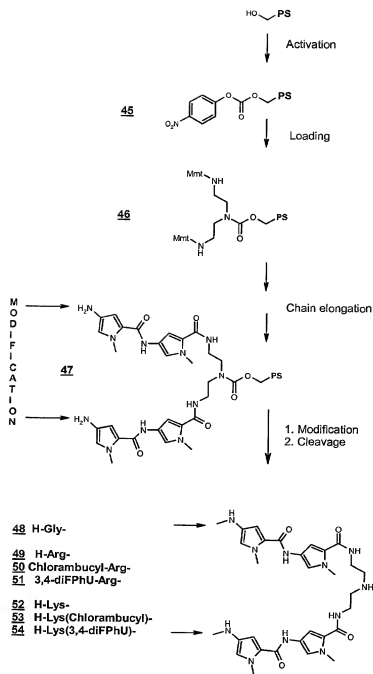


Figure 5

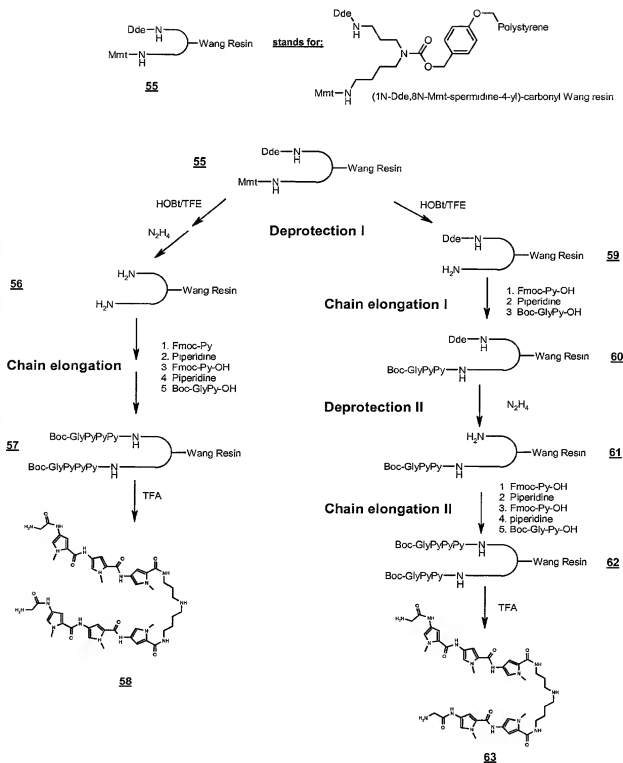


Figure 6

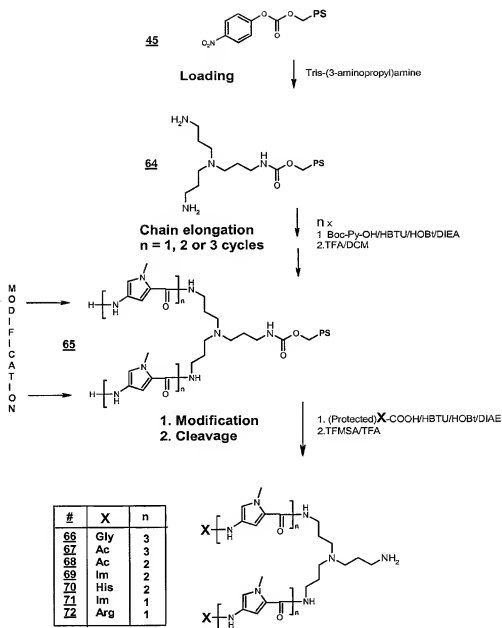


Figure 7

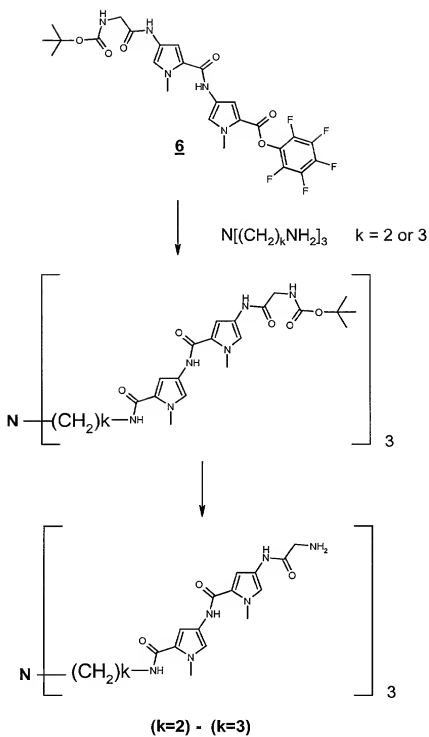
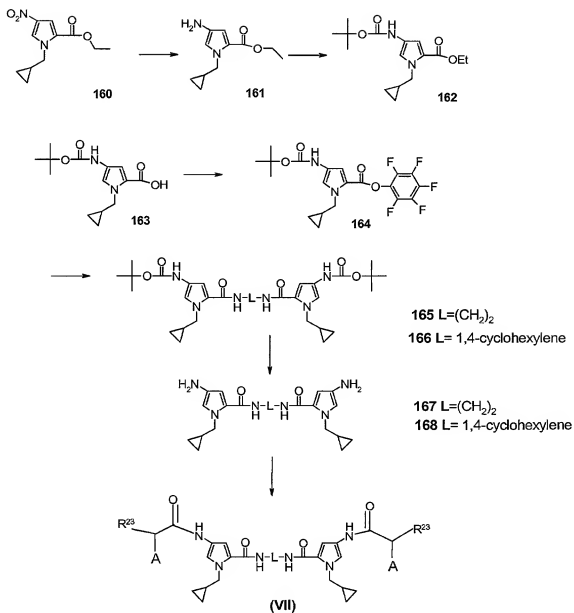


Figure 9



169 L=(CH₂)₂ A = amino acid side chain of **Gly**
 170 L=(CH₂)₂ A = amino acid side chain of **Val**
 171 L= 1,4-cyclohexylene A = amino acid side chain of **Pro**
 172 L= 1,4-cyclohexylene A = amino acid side chain of **Pro**
 173 L= 1,4-cyclohexylene A = amino acid side chain of **His**

R²³ = guanidino, amino, or ornithylamino

Figure 10

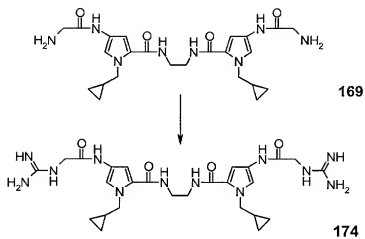


Figure 11

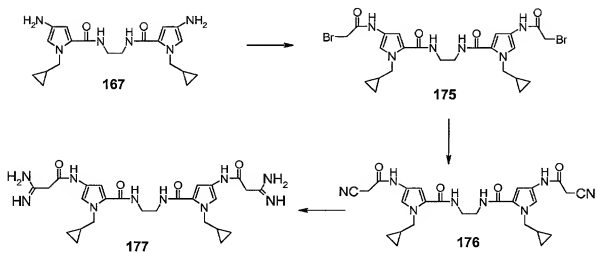
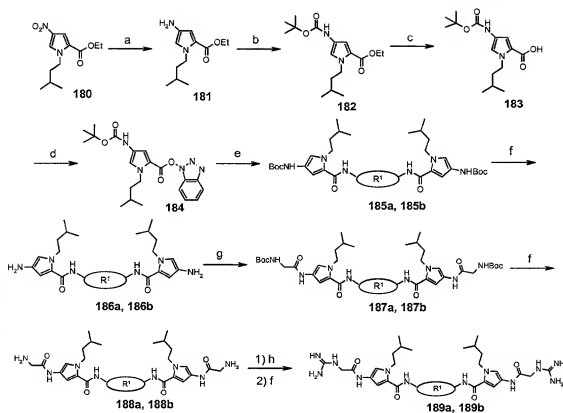
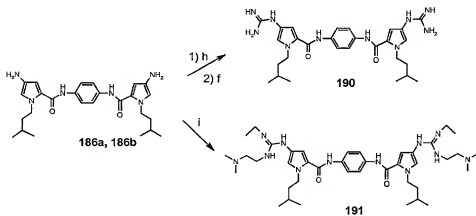


Figure 12

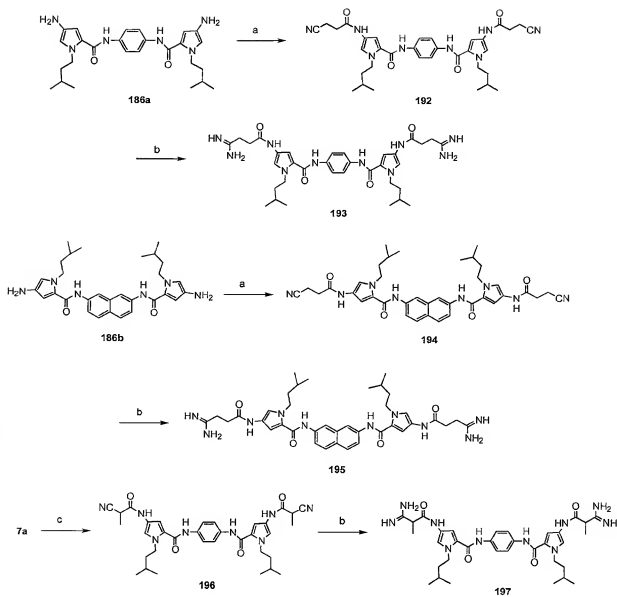


Compound numbers with **a** = 1,4-phenylene derivatives
Compound numbers with **b** = 2,7-naphthylene derivatives



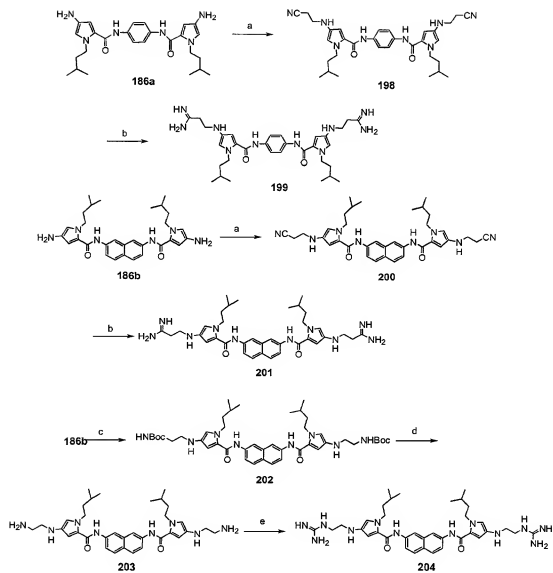
Reaction conditions: (a) H_2 , 5% Pt/C, MeOH; (b) $(Boc)_2O$, DMF; (c) 2 M NaOH/MeOH; (d) HOBT, DCC, DMF; (e) Diamine, NMP; (f) 4 M HCl in 1,4-dioxane/MeOH; (g) Boc-Gly-OH, HBTU, HOBT, DIEA, DMF; (h) BocNHCSNHBoc, $HgCl_2$, DMF, Et_3N ; (i) EDCI, Et_3N , *tert*-BuOH

Figure 13



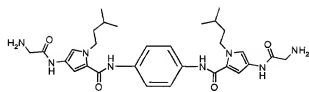
Reaction conditions: (a) 3-Cyanopropionic acid, HBTU, HOBT, DMF; (b) i) HCl/EtOH, ii) NH₃/EtOH; (c) 2-Cyanopropionic acid, HBTU, HOBT, DMF.

Figure 14

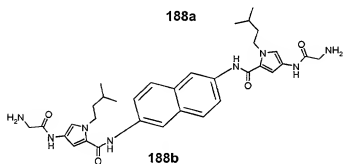


Reaction conditions: (a) 2-Cyanoacetaldehyde, NaCNBH_3 , MeOH; (b) i) HCl/EtOH , ii) NH_3/EtOH , (c) 2-tert-butoxycarbonylaminoacetaldehyde, NaCNBH_3 , MeOH; (d) 4 M HCl in 1,4-dioxane, MeOH, (e) 1H-Pyrazole-1-carboxamide hydrochloride, DIEA, DMF

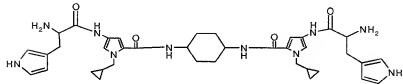
Figure 15



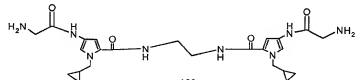
188a



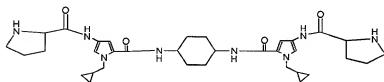
188b



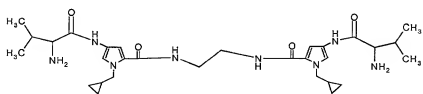
173



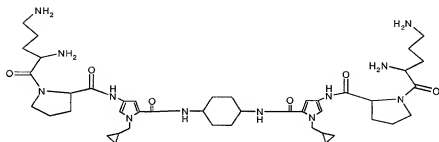
169



171



170



172

Figure 16

